



SEQUENCE LISTING

<110> Chorev, Michael  
Dong, Zheng Xin  
Rosenblatt, Michael

<120> PTH2 RECEPTOR SELECTIVE COMPOUNDS

<130> 00537-169002

<140> US 09/674,597

<141> 2000-11-02

<150> PCT/US99/09521

<151> 1999-05-03

<150> US 09/072,956

<151> 1998-05-05

<160> 53

<170> FastSEQ for Windows Version 4.0

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<211> 34

<212> PRT

<213> Homo sapiens

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1 5 10 15  
Ser Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His  
20 25 30  
Asn Phe

<210> 2

<211> 34

<212> PRT

<213> Homo sapiens

<400> 2

Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln  
1 5 10 15  
Asp Leu Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His  
20 25 30  
Thr Ala

<210> 3

<211> 34

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<213> Artificial Sequence

<220>

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<221> AMIDATION

<222> 34

<400> 3

Ala Val Ser Glu Ile Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln  
 1 5 10 15  
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 20 25 30  
 Thr Ala

<210> 4

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<221> MOD\_RES

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<223> amino acid is attached to R3, which represents OH,  
 NH2, (C1-C30)alkoxy or NH-Y-CH2-Z, where Y is a  
 (C1-C30) hydrocarbon moiety and Z is CO2H or CONH2

<400> 4

Ser Val Ser Glu Ile Gln Leu Met His Asn Leu Gly Lys His Leu Asn  
 1 5 10 15  
 Ser Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His  
 20 25 30  
 Asn Phe

<210> 5

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<223> amino acid is attached to R3, which represents OH,  
 NH2, (C1-C30)alkoxy or NH-Y-CH2-Z, where Y is a  
 (C1-C30) hydrocarbon moiety and Z is CO2H or CONH2

<400> 5

Ser Val Ser Glu Ile Gln Leu Met His Asn Leu Gly Lys His Leu Asn  
 1 5 10 15  
 Ser Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His  
 20 25 30  
 Asn Phe Val  
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<210> 6

<211> 36  
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 NH2, (C1-C30)alkoxy or NH-Y-CH2-Z, where Y is a  
 (C1-C30) hydrocarbon moiety and Z is CO2H or CONH2

<400> 6  
 Ser Val Ser Glu Ile Gln Leu Met His Asn Leu Gly Lys His Leu Asn  
 1 5 10 15  
 Ser Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His  
 20 25 30  
 Asn Phe Val Ala  
 35

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 (C1-C30) hydrocarbon moiety and Z is CO2H or CONH2

<400> 7  
 Ser Val Ser Glu Ile Gln Leu Met His Asn Leu Gly Lys His Leu Asn  
 1 5 10 15  
 Ser Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His  
 20 25 30  
 Asn Phe Val Ala Leu  
 35

<210> 8  
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 (C1-C30) hydrocarbon moiety and Z is CO2H or CONH2

&lt;400&gt; 8

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Ser Val Ser Glu Ile Gln Leu Met His Asn Leu Gly Lys His Leu Asn
 1           5           10           15
Ser Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His
          20           25           30
Asn Phe Val Ala Leu Gly
      35

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&lt;210&gt; 9

&lt;211&gt; 34

&lt;212&gt; PRT

&lt;213&gt; Artificial Sequence

&lt;220&gt;

&lt;223&gt; mutagen

&lt;221&gt; MOD\_RES

&lt;222&gt; 34

<223> amino acid is attached to R3, which represents OH,  
 NH<sub>2</sub>, (C1-C30)alkoxy or NH-Y-CH<sub>2</sub>-Z, where Y is a  
 (C1-C30) hydrocarbon moiety and Z is CO<sub>2</sub>H or CONH<sub>2</sub>

&lt;400&gt; 9

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Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln
 1           5           10           15
Asp Leu Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His
          20           25           30
Thr Ala

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&lt;210&gt; 10

&lt;211&gt; 35

&lt;212&gt; PRT

&lt;213&gt; Artificial Sequence

&lt;220&gt;

&lt;223&gt; mutagen

&lt;221&gt; MOD\_RES

&lt;222&gt; 35

<223> amino acid is attached to R3, which represents OH,  
 NH<sub>2</sub>, (C1-C30)alkoxy or NH-Y-CH<sub>2</sub>-Z, where Y is a  
 (C1-C30) hydrocarbon moiety and Z is CO<sub>2</sub>H or CONH<sub>2</sub>

&lt;400&gt; 10

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Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln
 1           5           10           15
Asp Leu Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His
          20           25           30
Thr Ala Glu
      35

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&lt;210&gt; 11

&lt;211&gt; 36

&lt;212&gt; PRT

&lt;213&gt; Artificial Sequence

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(C1-C30) hydrocarbon moiety and Z is CO<sub>2</sub>H or CONH<sub>2</sub>

<400> 11  
Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln  
1 5 10 15  
Asp Leu Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His  
20 25 30  
Thr Ala Glu Ile  
35

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NH<sub>2</sub>, (C1-C30)alkoxy or NH-Y-CH<sub>2</sub>-Z, where Y is a  
(C1-C30) hydrocarbon moiety and Z is CO<sub>2</sub>H or CONH<sub>2</sub>

<400> 12  
Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln  
1 5 10 15  
Asp Leu Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His  
20 25 30  
Thr Ala Glu Ile Arg  
35

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<223> mutagen

<221> MOD\_RES  
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NH<sub>2</sub>, (C1-C30)alkoxy or NH-Y-CH<sub>2</sub>-Z, where Y is a  
(C1-C30) hydrocarbon moiety and Z is CO<sub>2</sub>H or CONH<sub>2</sub>

<400> 13  
Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln  
1 5 10 15  
Asp Leu Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His

20 25 30  
 Thr Ala Glu Ile Arg Ala  
 35

<210> 14  
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 <213> Artificial Sequence

<220>  
 <223> mutagen

<400> 14  
 Ala Val Ser Glu Ile Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln  
 1 5 10 15  
 Asp Leu Arg Arg Arg Phe Trp Leu His His Leu Ile Ala Glu Ile His  
 20 25 30  
 Thr Ala Glu Ile  
 35

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<400> 15  
 Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln  
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 Asp Leu Arg Arg Arg Phe Trp Leu His His Leu Ile Ala Glu Ile His  
 20 25 30  
 Thr Ala Glu Ile  
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<221> MOD\_RES  
 <222> 7, 10  
 <223> Xaa = cyclohexylalanine (Cha)

<221> MOD\_RES  
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 <223> Xaa = norleucine (Nle)

<221> AMIDATION  
 <222> 33

<400> 16  
 Ser Val Ser Glu Ile Gln Xaa His Asn Xaa Gly Lys His Leu Asn Ser

1	5	10	15
Xaa	Glu	Arg	Val
	Glu	Trp	Leu
	Arg	Lys	Lys
	Leu	Gln	Asp
	Val	His	Asn
	20	25	30

Tyr

<210> 17  
 <211> 34  
 <212> PRT  
 <213> Artificial Sequence

<220>  
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<221> MOD\_RES  
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 <223> Xaa = norleucine (Nle)

<221> MOD\_RES  
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 <223> Xaa = [125I]-3-iodotyrosine

<221> AMIDATION  
 <222> 34

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Ala Val Ser Glu Ile Gln Phe Xaa His Asn Leu Gly Lys His Leu Ser
1 5 10 15
Ser Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His
20 25 30

Asn Xaa

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 <212> PRT  
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<221> AMIDATION  
 <222> 33

<400> 18
Ser Val Ser Glu Ile Gln Leu His Asn Leu Gly Lys His Leu Asn Ser
1 5 10 15
Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
20 25 30

Phe

<210> 19  
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<221> MOD\_RES  
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<223> Xaa = cyclohexylalanine (Cha)

<221> AMIDATION  
<222> 33

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1 5 10 15  
Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn  
20 25 30  
Phe

<210> 20  
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Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn Tyr  
20 25 30

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1 5 10 15  
Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn Phe  
20 25 30

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<221> AMIDATION  
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 1 5 10 15  
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 20 25 30

<210> 23  
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<221> AMIDATION  
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 Ser Val Ser Glu Ile Gln Leu His Asn Leu Gly Lys His Leu Asn Ser  
 1 5 10 15  
 Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn  
 20 25 30  
 Tyr

<210> 24  
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<220>  
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<221> AMIDATION  
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 1 5 10 15

Ser Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn  
                   20                  25                  30  
 Phe

<210> 25  
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<221> MOD\_RES  
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<221> AMIDATION  
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<400> 25  
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   1                  5                  10                  15  
 Ser Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn  
                   20                  25                  30  
 Phe

<210> 26  
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<221> AMIDATION  
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<400> 26  
 Ser Val Ser Glu Ile Gln Xaa Met His Asn Xaa Gly Lys His Leu Asn  
   1                  5                  10                  15  
 Ser Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn  
                   20                  25                  30  
 Tyr

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<223> Xaa = norleucine (Nle)

<221> AMIDATION

<222> 33

<400> 27

Ser	Val	Ser	Glu	Ile	Leu	Xaa	His	Asn	Leu	Gly	Lys	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

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<221> AMIDATION

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<400> 28

Ser	Val	Ser	Glu	Ile	Gln	Xaa	His	Asn	Leu	Gly	Lys	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

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<222> 8, 17

<223> Xaa = norleucine (Nle)

<221> AMIDATION

<222> 33

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Ser	Val	Ser	Glu	Ile	Gln	Leu	Xaa	Asn	Leu	Gly	Lys	His	Leu	Asn	Ser
-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----

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      1           5           10           15
Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
      20           25           30
Tyr

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Ser Val Ser Glu Ile Gln Leu Xaa His Leu Gly Lys His Leu Asn Ser
  1           5           10           15
Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
      20           25           30
Tyr

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<210> 31
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<221> AMIDATION
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<400> 31
Ser Val Ser Glu Ile Gln Leu Xaa His Asn Gly Lys His Leu Asn Ser
  1           5           10           15
Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
      20           25           30
Tyr

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Ser	Val	Ser	Glu	Ile	Gln	Leu	Xaa	His	Asn	Leu	Lys	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

<210> 33  
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Ser	Val	Ser	Glu	Ile	Gln	Leu	Xaa	His	Asn	Leu	Gly	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

<210> 34  
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<221> AMIDATION  
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Ser Val Ser Glu Ile Gln Leu Xaa His Asn Leu Gly Lys Leu Asn Ser  
 1 5 10 15  
 Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn  
 20 25 30  
 Tyr

<210> 35  
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<221> AMIDATION  
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 Ser Val Ser Glu Ile Gln Leu Xaa His Asn Leu Gly Lys His Asn Ser  
 1 5 10 15  
 Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn  
 20 25 30  
 Tyr

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 Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn  
 20 25 30  
 Tyr

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<221> AMIDATION  
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Ser Val Ser Glu Ile Gln Leu Xaa His Asn Leu Gly Lys His Leu Asn  
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Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn  
20 25 30  
Tyr

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<221> AMIDATION  
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1 5 10 15  
Ser Xaa Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn  
20 25 30  
Tyr

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&lt;400&gt; 39

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Ser Val Ser Glu Ile Gln Leu Xaa His Asn Leu Gly Lys His Leu Asn
 1           5           10           15
Ser Xaa Glu Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
           20           25           30
Tyr

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&lt;210&gt; 40

&lt;211&gt; 33

&lt;212&gt; PRT

&lt;213&gt; Artificial Sequence

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&lt;223&gt; mutagen

&lt;221&gt; MOD\_RES

&lt;222&gt; 8, 18

&lt;223&gt; Xaa = norleucine (Nle)

&lt;221&gt; AMIDATION

&lt;222&gt; 33

&lt;400&gt; 40

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Ser Val Ser Glu Ile Gln Leu Xaa His Asn Leu Gly Lys His Leu Asn
 1           5           10           15
Ser Xaa Glu Arg Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
           20           25           30
Tyr

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&lt;210&gt; 41

&lt;211&gt; 33

&lt;212&gt; PRT

&lt;213&gt; Artificial Sequence

&lt;220&gt;

&lt;223&gt; mutagen

&lt;221&gt; MOD\_RES

&lt;222&gt; 8, 18

&lt;223&gt; Xaa = norleucine (Nle)

&lt;221&gt; AMIDATION

&lt;222&gt; 33

&lt;400&gt; 41

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Ser Val Ser Glu Ile Gln Leu Xaa His Asn Leu Gly Lys His Leu Asn
 1           5           10           15
Ser Xaa Glu Arg Val Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
           20           25           30
Tyr

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&lt;210&gt; 42

&lt;211&gt; 33

&lt;212&gt; PRT



<213> Artificial Sequence

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<221> MOD\_RES

<222> 6, 10

<223> Xaa = cyclohexylalanine (Cha)

<221> MOD\_RES

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<223> Xaa = norleucine (Nle)

<221> AMIDATION

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<400> 42

Ser	Val	Ser	Glu	Ile	Xaa	Xaa	His	Asn	Xaa	Gly	Lys	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

<210> 43

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<223> Xaa = cyclohexylalanine (Cha)

<221> AMIDATION

<222> 33

<400> 43

Ser	Val	Ser	Glu	Ile	Gln	Xaa	His	Asn	Xaa	Gly	Lys	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

<210> 44

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<221> MOD\_RES

<222> 7, 10

<223> Xaa = cyclohexylalanine (Cha)

<221> MOD\_RES

<222> 8, 17

<223> Xaa = norleucine (Nle)

<221> AMIDATION

<222> 33

<400> 44

Ser	Val	Ser	Glu	Ile	Gln	Xaa	Xaa	Asn	Xaa	Gly	Lys	His	Leu	Asn	Ser
1				5				10						15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

<210> 45

<211> 31

<212> PRT

<213> Artificial Sequence

<220>

<223> mutagen

<221> MOD\_RES

<222> 7, 8

<223> Xaa = cyclohexylalanine (Cha)

<221> AMIDATION

<222> 31

<400> 45

Ser	Val	Ser	Glu	Ile	Gln	Xaa	Xaa	Gly	Lys	His	Leu	Asn	Ser	Met	Glu
1				5				10						15	
Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn	Phe	
			20					25					30		

<210> 46

<211> 31

<212> PRT

<213> Artificial Sequence

<220>

<223> mutagen

<221> MOD\_RES

<222> 7, 11

<223> Xaa = cyclohexylalanine (Cha)

<221> AMIDATION

<222> 31

&lt;400&gt; 46

Ser	Val	Ser	Glu	Ile	Gln	Xaa	Met	His	Asn	Xaa	Gly	Lys	His	Leu	Asn
1				5					10					15	
Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn	Phe	
		20						25					30		

&lt;210&gt; 47

&lt;211&gt; 33

&lt;212&gt; PRT

&lt;213&gt; Artificial Sequence

&lt;220&gt;

&lt;223&gt; mutagen

&lt;221&gt; AMIDATION

&lt;222&gt; 33

&lt;400&gt; 47

Ala	Val	Ser	Glu	Ile	Gln	Leu	His	Asp	Lys	Gly	Lys	Ser	Ile	Gln	Asp
1				5					10					15	
Leu	Arg	Arg	Arg	Phe	Trp	Leu	His	His	Leu	Ile	Ala	Glu	Ile	His	Thr
			20					25					30		

Ala

&lt;210&gt; 48

&lt;211&gt; 33

&lt;212&gt; PRT

&lt;213&gt; Artificial Sequence

&lt;220&gt;

&lt;223&gt; mutagen

&lt;221&gt; AMIDATION

&lt;222&gt; 33

&lt;400&gt; 48

Ala	Val	Ser	Glu	Ile	Gln	Leu	His	Asp	Lys	Gly	Lys	Ser	Ile	Gln	Asp
1				5					10					15	
Leu	Arg	Arg	Arg	Phe	Phe	Leu	His	His	Leu	Ile	Ala	Glu	Ile	His	Thr
			20					25					30		

Ala

&lt;210&gt; 49

&lt;211&gt; 33

&lt;212&gt; PRT

&lt;213&gt; Artificial Sequence

&lt;220&gt;

&lt;223&gt; mutagen

&lt;221&gt; AMIDATION

&lt;222&gt; 33

&lt;400&gt; 49

Ala Val Ser Glu His Gln Leu His Asp Lys Gly Lys Ser Ile Gln Asp

1 5 10 15  
Leu Arg Arg Arg Phe Trp Leu His His Leu Ile Ala Glu Ile His Thr  
20 25 30  
Ala

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<210> 50
<211> 33
<212> PRT
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<220>  
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<222> 33
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<400> 50
Ala Val Ser Glu Ile Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln
 1             5             10             15
Asp Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His Thr
          20             25             30
Ala
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<212> PRT
<213> Artificial Sequence
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<220>  
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<222> 33
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<400> 51
Ala Val Ser Glu Ile Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln
 1             5             10             15
Asp Arg Arg Arg Phe Trp Leu His His Leu Ile Ala Glu Ile His Thr
      20             25             30
Ala

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```
<210> 52
<211> 33
<212> PRT
<213> Artificial Sequence
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```
<220>  
<223> mutagen  
  
<221> AMIDATION  
<222> 33
```

<400> 52  
Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln

2

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